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=> e pato janos/au
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=> dup rem l1
PROCESSING COMPLETED FOR L1
               4 DUP REM L1 (0 DUPLICATES REMOVED)
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YOU HAVE REQUESTED DATA FROM 4 ANSWERS - CONTINUE? Y/(N):y
L2
     ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN
     2005:238994
                 CAPLUS
. DN
     142:316820
     Preparation of hetero-bicyclic fused thieno-pyran compounds as
TI
     antibacterial, antiviral, antitumor, and pharmaceutically active agents
     Koul, Anil; Klebl, Bert; Mueller, Gerhard; Missio, Andrea; Schwab,
IN
     Wilfried; Hafenbradl, Doris; Neumann, Lars; Sommer, Marc-Nicola; Mueller,
     Stefan; Hoppe, Edmund; Freisleben, Achim; Backes, Alexander; Hartung,
     Christian; Felber, Beatrice; Zech, Birgit; Engkvist, Ola; Keri, Gyoerqy;
     Oerfi, Laszlo; Banhegyi, Peter; Greff, Zoltan; Horvath, Zoltan; Varga,
     Zoltan; Marko, Peter; Pato, Janos; Szabadkai, Istvan;
     Szekelyhidi, Zsolt; Waczek, Frigyes
PA
     Axxima Pharmaceuticals A.-G., Germany
     PCT Int. Appl., 259 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                         KIND
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                                             APPLICATION NO.
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PΙ
     WO 2005023818
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                                 20050317
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                                                                    20040910
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PRAI EP 2003-20616
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20030915
US 2003-502606P
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US 2004-577043P
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WO 2004-EP10161
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OS MARPAT 142:316820

Described are hetero-bicyclic compds. such as 4,5,6,7-tetrahydro-AB benzo[b]thiophene-3-carboxylic acid amides, 4,7-dihydro-5H-thieno[2,3c]thiopyran-3-carboxylic acid amides, 4,7-dihydro-5H-thieno[2,3-c]pyran-3carboxylic acid amides, or benzo[b]thiophene-3-carboxylic acid amides I, wherein X1 is S, O, NH, substituted nitrogen; Y1-Y4 form with the ring containing X1 a hetero-bicyclic ring system; R1 is H, alkyl, cycloalkyl, heterocycle, alkynyl, substituted Ph, acyl, benzyl; R2 is amide, thioamide, sulfonamide, ester, sulfonyl; R3 is H, acyl, thio-ketone, sulfonyl, amide, thio-amide, diketone-amide, ester, thio-ester; and pharmaceutically acceptable salts thereof, the use of these derivs. for the prophylaxis and/or treatment of various diseases such as infectious diseases, including mycobacteria-induced infections and opportunistic diseases, prion diseases, immunol. diseases, autoimmune diseases, bipolar and clin. disorders, cardiovascular diseases, cell proliferative diseases, diabetes, inflammation, transplant rejections, erectile dysfunction, neurodegenerative diseases and stroke, as well as compns. containing at least one hetero-bicyclic compound and/or

pharmaceutically

acceptable salts thereof. Furthermore, reaction procedures for the synthesis of the hetero-bicyclic compound are disclosed. Thus, benzo[b] thiophen-carboxylic acid amide II was prepared and tested in vitro for its inhibitory effect on mycobacterial protein kinase G (IC50 =  $0.1\text{-}1.0~\mu\text{M}$ ).

- L2 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2004:722914 CAPLUS
- DN 141:236625
- TI Inhibitors of mycobacterial serine/threonine protein kinases for the treatment of mycobacterial infections
- IN Pato, Janos; Keri, Gyorgy; Orfi, Laszlo; Waczek, Frigyes;
  Horvath, Zoltan; Banhegyi, Peter; Szabadkai, Istavan; Marosfalvi, Jeno;
  Hegymegi-Barakonyi, Balint; Szekelyhidi, Zsolt; Greff, Zoltan; Choidas,
  Axel; Bacher, Gerald; Missio, Andrea; Koul, Anil
- PA Hung
- SO U.S. Pat. Appl. Publ., 51 pp., Cont.-in-part of Appl. No. PCT/EP03/03697. CODEN: USXXCO
- DT Patent
- LA English

FAN.CNT 3

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	WO					A3 20031			1204										
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	WO	WO 2003084947					A1 20031016				WO 2003-EP3697					20030409			
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     EP 2002-7923
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     WO 2002-EP5573
                                20020521
     WO 2003-EP3697
                         A2 20030409
OS
     MARPAT 141:236625
    Mycobacterial serine/threonine protein kinases, particularly
AB
     protein kinase G (PknG), are effective therapeutic targets for the
     treatment of mycobacterial infections. The invention discloses
     the use of mycobacterial serine/threonine protein kinases for
     developing methods for detection and determination of these kinases for
     recognizing and monitoring diseases and for controlling therapy of
     diseases. Addnl. disclosed are 4,5,6,7-tetrahydrobenzo[b]thiophene
     compds., benzo[g]quinoxaline compds., and pharmaceutically acceptable
     salts thereof, and methods of using such compds. and salts thereof for the
     prophylaxis and/or treatment of virally and/or bacterially induced
     infections, particularly mycobacteria-induced infections,
     including opportunistic infections, as well as pharmaceutical compns.
     containing at least one 4,5,6,7-tetrahydrobenzo[b]thiophene compound and/or
     benzo[g]quinoxaline compound and/or pharmaceutically acceptable salts
     thereof in a pharmaceutically acceptable carrier.
     ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
L2
AN
     2002:906175 CAPLUS
DN
     138:14074
TI
     Preparation of benzo[q] quinoxalines for use against infectious diseases
     Pato, Janos; Keri, Gyoergy; Oerfi, Laszlo; Waczek, Frigyes;
IN
     Horvath, Zoltan; Banhegyi, Peter; Szabadkai, Istvan; Marosfalvi, Jenoe;
     Hegymegi-barakonyi, Balint; Szekelyhidi, Zsolt; Greff, Zoltan; Choidas,
     Axel; Bacher, Gerald; Daub, Henrik; Obert, Sabine; Kurtenbach, Alexander;
     Habenberger, Peter
PΑ
     Axxima Pharmaceuticals Ag, Germany; et al.
     PCT Int. Appl., 237 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 3
     PATENT NO.
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                                            APPLICATION NO.
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                                             WO 2002-EP5573
     WO 2002094796
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PRAI EP 2001-112289
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US 2001-292325P
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WO 2002-EP5573
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                    A2
                           20030409
WO 2003-EP3697
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OS MARPAT 138:14074

AB

of

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The present invention relates to benzo[q]quinoxaline derivs. (shown as I; e.g. 2,3-bis(2-thienyl)benzo[g]quinoxaline and benzo[g]quinoxalin-2-yl(3bromophenyl)amine), processes for manufacturing said benzo[g]quinoxaline derivs., the use of the benzo[q]quinoxaline derivs. as pharmaceutically active agents, especially for the prophylaxis and/or treatment of infectious diseases and opportunistic infections, diabetes, cancer, inflammation, as well as compns. containing at least one benzo[g]quinoxaline derivative and/or pharmaceutically acceptable salt thereof. Further, the present invention is directed to methods for preventing and/or treating of infectious diseases, diabetes, cancer, and inflammation using the inventive benzo[g] quinoxaline derivs. The inventive benzo[g] quinoxaline derivs. exert their antiproliferative effect on M. bovis BCG and M. tuberculosis Erdmann at concns. between <<1  $\mu M$  and 32  $\mu M$ . In contrast, growth of E. coli XI-1 blue was not affected by benzo[g]quinoxaline derivs. at concns. >10  $\mu M$ . The benzo[g]quinoxaline compds. are able to inhibit HI virus replication up to 63% after 6 days at a concentration of 1  $\mu$ M. 5,10-Dibromo-2-(thiophen-3-yl)-3-(thiophen-2-yl)benzo[g]quinoxaline is able to decrease the activity of the herpes viral target UL-97 by 75%. Results for inhibition of HCMV target RICK for 5 I, of influenza replication for 7 I, of hepatitis B virus for 5 I, of  $TNF\alpha$  signaling for 11 I, of human cellular protein kinases (Akt, Abl, PDGFR, Src) for 7 I, of A549 and Jurkat cells for 18 I, of human cellular protein kinase Akt known as a target for diabetes for 4 I, and of human protein kinases SRPK1 and SRPK2 (indicative of hepatitis B virus replication inhibition) for 8 and 1 I, resp., are tabulated. Results for activation of the insulin receptor InsR by 3 I, effect of 2 I on viability of Huh-5-2 replicon cells by the Alamar Blue toxicity assay, effect of 2. I on autonomous replication of hepatitis C virus replicons in the Huh-5-2 cell line by luciferase reporter assay, are tabulated. In I: R1 and R2 = -(CH2)p-NH-(CH2)n-R9, -(CH2)s-S-(CH2)m-R10, -(CH2)m-O-(CH2)p-R11, -(CH2)r-R3, -CH:CH-R11, -(CH2)m-CH(OH)(CH2)p-R11, -(CH2)q-R11, -R9, R10, -R12, -R13, etc. R3, R4, R5, R6, R7, and R8 = -H, -F, -Cl, -Br, -I, -SO3H, -SO3NH2, -(CH2)s-COOR16, -(CH2)p-COOR17, -OR16, -SR16, -NR16R17, -OOCR16, -OOCR17, -NH-CO-R16, -NH-CO-R17, -CO-NH-R16, -CO-NH-R17, -NO2, -N3, -CN, -OCN, -NCO, -SCN, -NCS, CO-R16, CO-R17, -COCN, -CONR16R17, -SOR16, -SO2R16, -SO2R17, -SO3R16, -SO3R17, OCF3. R9, R10, and R11 = -CN, NR16R17, -NHR16, NHR17, etc. R12, R13, R14, and R15 = R3, R4, R5, R6, R16, R17, CH(CO2R16)(CO2R17), CH(CN)(CO2R16), CH(CN)C(O)NHAr (Ar = R14- and R15-substituted phenyl); R16 and R17 = -H, -CH3, -C2H5, -Pr, -CHMe2, -Bu, -C5H11, -C6H13, -cyclo-C6H11, -cyclo-C5H9, -cyclo-C4H7, -cyclo-C3H5, -(CH2)r-CHMe2, -CHMeEt, -CMe3, -CH:CH2, -CH2-CH:CH2, Ph, --CH2Ph, -C2H4Ph, -CH(CN)2, -CF3, -CC13, -CBr3, -C2F5, -(CH2)r-OH, -CH2F, -CH2Cl, -CH2Br, -CH2I, -CHF2, -CHCl2, -CHBr2, -(CH2)r-SH, -C6H4-CH3, -C6H3Me2, pyridyl, 2-pyrimidinyl, etc. M = 0-6, n = 0-6, p = 0-6, q = 0-6, r = 1-6, s = 0-6. Also claimed are the corresponding N-oxides in position 1 and/or 4 of these compds., the corresponding reduced forms of these compds. wherein the double bond in position 1 and/or 3 is hydrogenated, and pharmaceutically acceptable salts of I. About 42 example prepns. and 406 compds. with characterization data are included. 1H-benzo[g]quinoxaline-2one was prepared in 90% yield by dissolving 20 mmol 2,3-diaminonaphthalene in a mixture of 5 mL DMF and 50 mL EtOH and adding 5 mL aqueous solution (50%)

glyoxalic acid and the mixture was stirred for 2 h at reflux temperature. The reaction mixture was cooled to room temperature and the product was filtered, washed two times with Et2O and dried.

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AN 1993:362988 BIOSIS
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- DN PREV199396048663
- TI Preparation of egg albumin microparticles for oral application.
- AU Mora, Melinda [Reprint author]; Pato, Janos
- CS Central Res. Inst. Chem., Hung. Acad. Sci., P.O. Box 17, 1526 Budapest, Hungary
- SO Journal of Controlled Release, (1993) Vol. 25, No. 1-2, pp. 107-113. CODEN: JCREEC. ISSN: 0168-3659.
- DT Article
- LA English
- ED Entered STN: 6 Aug 1993
  - Last Updated on STN: 8 Aug 1993
- Gentamicin sulfate, a mixture of aminoglycoside type antibiotics applied AB in veterinary practice, was entrapped in a protein cover in order to prevent its decomposition before absorption from the gastrointestinal system. Unpurified egg albumin was used for this purpose. For detection of the drug a fluorescence labeled was introduced. The microparticles were prepared by a heat stabilization method in a water/oil system. drug-release was checked in in vitro experiments. Since the drug retaining ability of the microspheres was not satisfactory after this procedure, further hardening was accomplished by chemical crosslinks which were induced with glutaraldehyde. We have examined the effect of changes in different parameters of the synthesis of the drug-retaining ability of produced microcapsules. We have produced microspheres which hold about 80% of entrapped material even after 4 h at pH 7,2, which corresponds to the conditions in saliva and rumen of animals but having reached the stomach they presumably release the total amount of the drug.

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             1 ("KERI GYORGI"/AU OR "KERI GYORGY"/AU) AND MYCOBACTER?
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     ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
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     2004:722914 CAPLUS
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     141:236625
TT
     Inhibitors of mycobacterial serine/threonine protein kinases for
     the treatment of mycobacterial infections
     Pato, Janos; Keri, Gyorgy; Orfi, Laszlo; Waczek, Frigyes;
IN
     Horvath, Zoltan; Banhegyi, Peter; Szabadkai, Istavan; Marosfalvi, Jeno;
     Hegymegi-Barakonyi, Balint; Szekelyhidi, Zsolt; Greff, Zoltan; Choidas,
     Axel; Bacher, Gerald; Missio, Andrea; Koul, Anil
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SO
     U.S. Pat. Appl. Publ., 51 pp., Cont.-in-part of Appl. No. PCT/EP03/03697.
     CODEN: USXXCO
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PATENT NO.

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APPLICATION NO.

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20040902 US 2003-715591
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     2006:247378 BIOSIS
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     PREV200600248365
     Inhibitors of a mycobacterial protein kinase target and their
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     conversion into novel drug candidates for Mycobacterium
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tuberculosis infected patients.
     Orfi, L. [Reprint Author]; Koul, A.; Hafenbradl, D.; Klebl, B.;
ΑU
     Hoppe, E.; Missio, A.; Mueller, G.; Ullrich, A.; Pato, J.; Waczek, F.;
     Marko, P.; Banhegyi, P.; Greff, Z.; Keri, G.
     Semmelweis Univ, Dept Pharmaceut Chem, Budapest, Hungary
CS
     lorfi@vichem.hu
     FEBS Journal, (JUL 2005) Vol. 272, No. Suppl. 1, pp. 522.
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     Meeting Info.: 30th Congress of the Federation-of-European-Biochemical-
     Societies (FEBS)/9th IUBMB Conference. Budapest, HUNGARY. July 02 -07,
     2005. Federat European Biochem Soc; Int Union Biochem Mol Biol.
     ISSN: 1742-464X. E-ISSN: 1742-4658.
     Conference; (Meeting)
     Conference; Abstract; (Meeting Abstract)
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     Entered STN: 26 Apr 2006
     Last Updated on STN: 26 Apr 2006
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     141:236625
     Inhibitors of mycobacterial serine/threonine protein kinases for
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     the treatment of mycobacterial infections
     Pato, Janos; Keri, Gyorgy; Orfi, Laszlo; Waczek, Frigyes;
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     Horvath, Zoltan; Banhegyi, Peter; Szabadkai, Istavan; Marosfalvi, Jeno;
     Hegymegi-Barakonyi, Balint; Szekelyhidi, Zsolt; Greff, Zoltan; Choidas,
     Axel; Bacher, Gerald; Missio, Andrea; Koul, Anil
PA
     U.S. Pat. Appl. Publ., 51 pp., Cont.-in-part of Appl. No. PCT/EP03/03697.
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20020521

WO 2002-EP5573

OS MARPAT 141:236625

Mycobacterial serine/threonine protein kinases, particularly AB protein kinase G (PknG), are effective therapeutic targets for the treatment of mycobacterial infections. The invention discloses the use of mycobacterial serine/threonine protein kinases for developing methods for detection and determination of these kinases for recognizing and monitoring diseases and for controlling therapy of diseases. Addnl. disclosed are 4,5,6,7-tetrahydrobenzo[b]thiophene compds., benzo[g]quinoxaline compds., and pharmaceutically acceptable salts thereof, and methods of using such compds. and salts thereof for the prophylaxis and/or treatment of virally and/or bacterially induced infections, particularly mycobacteria-induced infections, including opportunistic infections, as well as pharmaceutical compns. containing at least one 4,5,6,7-tetrahydrobenzo[b]thiophene compound and/or benzo[q]quinoxaline compound and/or pharmaceutically acceptable salts thereof in a pharmaceutically acceptable carrier.

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     2006:247378 BIOSIS
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     Inhibitors of a mycobacterial protein kinase target and their
TI
     conversion into novel drug candidates for Mycobacterium
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     Orfi, L. [Reprint Author]; Koul, A.; Hafenbradl, D.; Klebl, B.; Hoppe, E.;
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     Missio, A.; Mueller, G.; Ullrich, A.; Pato, J.; Waczek, F.;
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     Semmelweis Univ, Dept Pharmaceut Chem, Budapest, Hungary
     lorfi@vichem.hu
     FEBS Journal, (JUL 2005) Vol. 272, No. Suppl. 1, pp. 522.
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     Meeting Info.: 30th Congress of the Federation-of-European-Biochemical-
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     2005. Federat European Biochem Soc, Int Union Biochem Mol Biol.
     ISSN: 1742-464X. E-ISSN: 1742-4658.
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     Conference; Abstract; (Meeting Abstract)
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     Entered STN: 26 Apr 2006
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Preparation of hetero-bicyclic fused thieno-pyran compounds as
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     Koul, Anil; Klebl, Bert; Mueller, Gerhard; Missio, Andrea; Schwab,
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     Wilfried; Hafenbradl, Doris; Neumann, Lars; Sommer, Marc-Nicola; Mueller,
     Stefan; Hoppe, Edmund; Freisleben, Achim; Backes, Alexander; Hartung, Christian; Felber, Beatrice; Zech, Birgit; Engkvist, Ola; Keri, Gyoergy;
     Oerfi, Laszlo; Banhegyi, Peter; Greff, Zoltan; Horvath, Zoltan; Varga,
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     Inhibitors of mycobacterial serine/threonine protein kinases for
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     Pato, Janos; Keri, Gyorgy; Orfi, Laszlo; Waczek, Frigyes;
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     Horvath, Zoltan; Banhegyi, Peter; Szabadkai, Istavan; Marosfalvi, Jeno;
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     Axel; Bacher, Gerald; Missio, Andrea; Koul, Anil
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     U.S. Pat. Appl. Publ., 51 pp., Cont.-in-part of Appl. No. PCT/EP03/03697.
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     2002:906175 CAPLUS
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PRAI EP 2004-31078
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     MARPAT 147:31086
     The invention relates to naphthyridine compds. of general formula I, which
     are inhibitors of Rho-associated coiled-coil containing kinases (ROCK protein
     kinases). In compds. I, R1 and R2 are independently selected from H,
     halo, OH, alkyl, alkoxy, cycloalkyl, aryl, haloalkyl, aryloxy, etc.; R3 is
     absent, H, alkyl, cyclopropyl, Ph, benzyl, alkenyl, or alkynyl; R4 is
     substituted C1-3 alkyl; and X is (=O), or X and R4, together with the
     atoms to which they are attached, form a nitrogen-containing heterocyclyl or
     heteroaryl; including stereoisomers, prodrugs, solvates, hydrates, and/or
     salts thereof. The invention also relates to the preparation of I,
     pharmaceutical compns. comprising a compound I as an active ingredient
     together with at least one pharmaceutically acceptable carrier, excipient
     and/or diluents, as well as to the use of the compns. for the treatment of
     conditions responding to inhibition of ROCK kinases, such as cancers,
     erectile dysfunction, and cardiovascular diseases. The compds. of the
     invention were prepared by the amidation of the corresponding
     naphthyridinecarboxylic acid or -carbonyl chloride with the appropriate
     amine. The compds. of the invention are inhibitors of ROCK1 and ROCK2,
     e.g., compound II expressed IC50 values of 0.30 \mu M and 0.38 \mu M, resp.
RE.CNT 12
              THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
     2005:238994 CAPLUS
     142:316820
     Preparation of hetero-bicyclic fused thieno-pyran compounds as
     antibacterial, antiviral, antitumor, and pharmaceutically active agents
     Koul, Anil; Klebl, Bert; Mueller, Gerhard; Missio, Andrea; Schwab,
     Wilfried; Hafenbradl, Doris; Neumann, Lars; Sommer, Marc-Nicola; Mueller,
     Stefan; Hoppe, Edmund; Freisleben, Achim; Backes, Alexander; Hartung,
     Christian; Felber, Beatrice; Zech, Birgit; Engkvist, Ola; Keri, Gyoergy;
     Oerfi, Laszlo; Banhegyi, Peter; Greff, Zoltan; Horvath, Zoltan;
     Varga, Zoltan; Marko, Peter; Pato, Janos; Szabadkai, Istvan; Szekelyhidi,
     Zsolt; Waczek, Frigyes
     Axxima Pharmaceuticals A.-G., Germany
     PCT Int. Appl., 259 pp.
     CODEN: PIXXD2
     Patent
     English
FAN.CNT 1
                          KIND
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     PATENT NO.
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                                 20050317
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OS
    MARPAT 142:316820
AΒ
    Described are hetero-bicyclic compds. such as 4,5,6,7-tetrahydro-
    benzo[b] thiophene-3-carboxylic acid amides, 4,7-dihydro-5H-thieno[2,3-
     c]thiopyran-3-carboxylic acid amides, 4,7-dihydro-5H-thieno[2,3-c]pyran-3-
     carboxylic acid amides, or benzo[b]thiophene-3-carboxylic acid amides I,
     wherein X1 is S, O, NH, substituted nitrogen; Y1-Y4 form with the ring
     containing X1 a hetero-bicyclic ring system; R1 is H, alkyl, cycloalkyl,
     heterocycle, alkynyl, substituted Ph, acyl, benzyl; R2 is amide,
     thioamide, sulfonamide, ester, sulfonyl; R3 is H, acyl, thio-ketone,
     sulfonyl, amide, thio-amide, diketone-amide, ester, thio-ester; and
     pharmaceutically acceptable salts thereof, the use of these derivs. for
     the prophylaxis and/or treatment of various diseases such as infectious
     diseases, including mycobacteria-induced infections and
     opportunistic diseases, prion diseases, immunol. diseases, autoimmune
     diseases, bipolar and clin. disorders, cardiovascular diseases, cell
     proliferative diseases, diabetes, inflammation, transplant rejections,
     erectile dysfunction, neurodegenerative diseases and stroke, as well as
     compns. containing at least one hetero-bicyclic compound and/or
pharmaceutically
     acceptable salts thereof. Furthermore, reaction procedures for the
     synthesis of the hetero-bicyclic compound are disclosed. Thus,
     benzo[b]thiophen-carboxylic acid amide II was prepared and tested in vitro
     for its inhibitory effect on mycobacterial protein kinase G
     (IC50 = 0.1-1.0 \mu M).
    ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
L8
     2004:722914 CAPLUS
AN
DN
     141:236625
     Inhibitors of mycobacterial serine/threonine protein kinases for
ΤI
     the treatment of mycobacterial infections
     Pato, Janos; Keri, Gyorgy; Orfi, Laszlo; Waczek, Frigyes; Horvath,
IN
     Zoltan; Banhegyi, Peter; Szabadkai, Istavan; Marosfalvi, Jeno;
     Hegymegi-Barakonyi, Balint; Szekelyhidi, Zsolt; Greff, Zoltan; Choidas,
     Axel; Bacher, Gerald; Missio, Andrea; Koul, Anil
PA
     U.S. Pat. Appl. Publ., 51 pp., Cont.-in-part of Appl. No. PCT/EP03/03697.
SO
     CODEN: USXXCO
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     WO 2002-EP5573
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     WO 2003-EP3697
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                                  20030409
     MARPAT 141:236625
OS
     Mycobacterial serine/threonine protein kinases, particularly
AB
     protein kinase G (PknG), are effective therapeutic targets for the
     treatment of mycobacterial infections. The invention discloses
     the use of mycobacterial serine/threonine protein kinases for
     developing methods for detection and determination of these kinases for
     recognizing and monitoring diseases and for controlling therapy of
     diseases. Addnl. disclosed are 4,5,6,7-tetrahydrobenzo[b]thiophene
     compds., benzo[q]quinoxaline compds., and pharmaceutically acceptable
     salts thereof, and methods of using such compds. and salts thereof for the
     prophylaxis and/or treatment of virally and/or bacterially induced
     infections, particularly mycobacteria-induced infections,
     including opportunistic infections, as well as pharmaceutical compns.
     containing at least one 4,5,6,7-tetrahydrobenzo[b]thiophene compound and/or
     benzo[g]quinoxaline compound and/or pharmaceutically acceptable salts
     thereof in a pharmaceutically acceptable carrier.
     ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
L8
     2002:906175 CAPLUS
ΑN
     138:14074
DN
     Preparation of benzo[g]quinoxalines for use against infectious diseases
ΤI
     Pato, Janos; Keri, Gyoergy; Oerfi, Laszlo; Waczek, Frigyes; Horvath,
IN
     Zoltan; Banhegyi, Peter; Szabadkai, Istvan; Marosfalvi, Jenoe;
     Hegymegi-barakonyi, Balint; Szekelyhidi, Zsolt; Greff, Zoltan; Choidas,
     Axel; Bacher, Gerald; Daub, Henrik; Obert, Sabine; Kurtenbach, Alexander;
     Habenberger, Peter
     Axxima Pharmaceuticals Ag, Germany; et al.
PA
     PCT Int. Appl., 237 pp.
SO
     CODEN: PIXXD2
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PRAI EP 2001-112289
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    US 2001-298902P
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    EP 2001-115508
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    EP 2002-7923
                          Α
                                20020409
    WO 2002-EP5573
                          W
                                20020521
    WO 2003-EP3697
                          A2
                                20030409
OS
    MARPAT 138:14074
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The present invention relates to benzo[g] quinoxaline derivs. (shown as I; e.g. 2,3-bis(2-thienyl)benzo[g]quinoxaline and benzo[g]quinoxalin-2-yl(3bromophenyl)amine), processes for manufacturing said benzo[g]quinoxaline derivs., the use of the benzo[q]quinoxaline derivs. as pharmaceutically active agents, especially for the prophylaxis and/or treatment of infectious diseases and opportunistic infections, diabetes, cancer, inflammation, as well as compns. containing at least one benzo[g]quinoxaline derivative and/or pharmaceutically acceptable salt thereof. Further, the present invention is directed to methods for preventing and/or treating of infectious diseases, diabetes, cancer, and inflammation using the inventive benzo[g]quinoxaline derivs. The inventive benzo[g]quinoxaline derivs. exert their antiproliferative effect on M. bovis BCG and M. tuberculosis Erdmann at concns. between <<1 µM and 32 µM. In contrast, growth of E. coli XI-1 blue was not affected by benzo[g] quinoxaline derivs. at The benzo[g]quinoxaline compds. are able to inhibit HI concns.  $>10 \mu M$ . virus replication up to 63% after 6 days at a concentration of 1  $\mu M$ . 5,10-Dibromo-2-(thiophen-3-yl)-3-(thiophen-2-yl)benzo[g]quinoxaline is able to decrease the activity of the herpes viral target UL-97 by 75%. Results for inhibition of HCMV target RICK for 5 I, of influenza replication for 7 I, of hepatitis B virus for 5 I, of  $TNF\alpha$  signaling for 11 I, of human cellular protein kinases (Akt, Abl, PDGFR, Src) for 7 I, of A549 and Jurkat cells for 18 I, of human cellular protein kinase Akt known as a target for diabetes for 4 I, and of human protein kinases SRPK1 and SRPK2 (indicative of hepatitis B virus replication inhibition) for 8 and 1 I, resp., are tabulated. Results for activation of the insulin receptor InsR by 3 I, effect of 2 I on viability of Huh-5-2 replicon cells by the Alamar Blue toxicity assay, effect of 2 I on autonomous replication of hepatitis C virus replicons in the Huh-5-2 cell line by luciferase reporter assay, are tabulated. In I: R1 and R2 = -(CH2)p-NH-(CH2)n-R9, -(CH2)s-S-(CH2)m-R10, -(CH2)m-O-(CH2)p-R11, -(CH2)r-R3, -CH:CH-R11, -(CH2)m-CH(OH)(CH2)p-R11, -(CH2)q-R11, -R9, R10, -R12, -R13, etc. R3, R4, R5, R6, R7, and R8 = -H, -F, -Cl, -Br, -I, -SO3H, -SO3NH2, -(CH2)s-COOR16, -(CH2)p-COOR17, -OR16, -SR16, -NR16R17, -OOCR16, -OOCR17, -NH-CO-R16, -NH-CO-R17, -CO-NH-R16, -CO-NH-R17, -NO2, -N3, -CN, -OCN, -NCO, -SCN, -NCS, CO-R16, CO-R17, -COCN, -CONR16R17, -SOR16, -SO2R16, -SO2R17, -SO3R16, -SO3R17, OCF3. R9, R10, and R11 = -CN, NR16R17, -NHR16, NHR17, R12, R13, R14, and R15 = R3, R4, R5, R6, R16, R17, CH(CO2R16)(CO2R17), CH(CN)(CO2R16), CH(CN)C(O)NHAr (Ar = R14- and R15-substituted phenyl); R16 and R17 = -H, -CH3, -C2H5, -Pr, -CHMe2, -Bu, -C5H11, -C6H13, -cyclo-C6H11, -cyclo-C5H9, -cyclo-C4H7, -cyclo-C3H5, -(CH2)r-CHMe2, -CHMeEt, -CMe3, -CH:CH2, -CH2-CH:CH2, Ph, --CH2Ph, -C2H4Ph, -CH(CN)2, -CF3, -CCl3, -CBr3, -C2F5, -(CH2)r-OH, -CH2F, -CH2Cl, -CH2Br, -CH2I, -CHF2, -CHCl2, -CHBr2, -(CH2)r-SH, -C6H4-CH3, -C6H3Me2, pyridyl, 2-pyrimidinyl, etc. M = 0-6, n = 0-6, p = 0-6, q = 0-6, r = 1-6, s = 0-6. Also claimed are the corresponding N-oxides in position 1 and/or 4 of these compds., the corresponding reduced forms of these compds. wherein the double bond in position 1 and/or 3 is hydrogenated, and pharmaceutically acceptable salts of I. About 42 example prepns. and 406 compds. with characterization data are included. 1H-benzo[g]quinoxaline-2of

glyoxalic acid and the mixture was stirred for 2 h at reflux temperature. The reaction mixture was cooled to room temperature and the product was filtered, washed two times with Et2O and dried.

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E2
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     ANSWER 1 OF 4 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN
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     2006:247378 BIOSIS
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    PREV200600248365
     Inhibitors of a mycobacterial protein kinase target and their
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     conversion into novel drug candidates for Mycobacterium
     tuberculosis infected patients.
    Orfi, L. [Reprint Author]; Koul, A.; Hafenbradl, D.; Klebl, B.; Hoppe, E.;
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    Missio, A.; Mueller, G.; Ullrich, A.; Pato, J.; Waczek, F.; Marko, P.;
    Banhegyi, P.; Greff, Z.; Keri, G.
CS
    Semmelweis Univ, Dept Pharmaceut Chem, Budapest, Hungary
    lorfi@vichem.hu
    FEBS Journal, (JUL 2005) Vol. 272, No. Suppl. 1, pp. 522.
SO
    Meeting Info.: 30th Congress of the Federation-of-European-Biochemical-
    Societies (FEBS)/9th IUBMB Conference. Budapest, HUNGARY. July 02 -07,
     2005. Federat European Biochem Soc; Int Union Biochem Mol Biol.
     ISSN: 1742-464X. E-ISSN: 1742-4658.
     Conference; (Meeting)
DT
     Conference; Abstract; (Meeting Abstract)
LA
    English
    Entered STN: 26 Apr 2006
ED
    Last Updated on STN: 26 Apr 2006
    ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
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     142:316820
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    Preparation of hetero-bicyclic fused thieno-pyran compounds as
    antibacterial, antiviral, antitumor, and pharmaceutically active agents
    Koul, Anil; Klebl, Bert; Mueller, Gerhard; Missio, Andrea; Schwab,
IN
    Wilfried; Hafenbradl, Doris; Neumann, Lars; Sommer, Marc-Nicola; Mueller,
    Stefan; Hoppe, Edmund; Freisleben, Achim; Backes, Alexander; Hartung,
    Christian; Felber, Beatrice; Zech, Birgit; Engkvist, Ola; Keri, Gyoergy;
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    Axxima Pharmaceuticals A.-G., Germany
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PCT Int. Appl., 259 pp.
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     ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
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     Inhibitors of mycobacterial serine/threonine protein kinases for
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     the treatment of mycobacterial infections
     Pato, Janos; Keri, Gyorgy; Orfi, Laszlo; Waczek, Frigyes; Horvath, Zoltan;
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     Banhegyi, Peter; Szabadkai, Istavan; Marosfalvi, Jeno;
     Hegymegi-Barakonyi, Balint; Szekelyhidi, Zsolt; Greff, Zoltan; Choidas,
     Axel; Bacher, Gerald; Missio, Andrea; Koul, Anil
PΆ
     U.S. Pat. Appl. Publ., 51 pp., Cont.-in-part of Appl. No. PCT/EP03/03697.
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Hegymegi-barakonyi, Balint; Szekelyhidi, Zsolt; Greff, Zoltan;
     Choidas, Axel; Bacher, Gerald; Daub, Henrik; Obert, Sabine; Kurtenbach,
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     Inhibitors of a mycobacterial protein kinase target and their
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     Orfi, L. [Reprint Author]; Koul, A.; Hafenbradl, D.; Klebl, B.; Hoppe, E.;
     Missio, A.; Mueller, G.; Ullrich, A.; Pato, J.; Waczek, F.; Marko, P.;
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Zoltan; Banhegyi, Peter; Szabadkai, Istvan; Marosfalvi, Jenoe;

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Banhegyi, P.; Greff, Z.; Keri, G.
     Semmelweis Univ, Dept Pharmaceut Chem, Budapest, Hungary
CS
     lorfi@vichem.hu
     FEBS Journal, (JUL 2005) Vol. 272, No. Suppl. 1, pp. 522.
SO
     Meeting Info.: 30th Congress of the Federation-of-European-Biochemical-
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     2005. Federat European Biochem Soc; Int Union Biochem Mol Biol.
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     Keri, Gyoergy; Oerfi, Laszlo
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     tuberculosis: Characterization and localization.
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AU
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     Centre for Biochemical Technology, Mall Road, Delhi, 110 007, India
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     Centre for Biochemical Technology, Mall Road, Delhi-110 007, India.
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     138:14074
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     Pato, Janos; Keri, Gyoergy; Oerfi, Laszlo; Waczek, Frigyes; Horvath,
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     ANSWER 1 OF 7 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN
     2004:327917 BIOSIS
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     PREV200400325847
     Protein kinase G from pathogenic mycobacteria promotes survival
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     Walburger, Anne; Koul, Anil; Ferrari, Giorgio; Nguyen, Liem;
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     Charles; Bacher, Gerald; Pieters, Jean [Reprint Author]
CS
     Biozentrum, Univ Basel, Klingelbergstr 50-70, CH-4056, Basel, Switzerland
     jean.pieters@unibas.ch
     Science (Washington D C), (June 18 2004) Vol. 304, No. 5678, pp.
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     Pato, Janos; Keri, Gyoergy; Oerfi, Laszlo; Waczek, Frigyes; Horvath,
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     Zoltan; Banhegyi, Peter; Szabadkai, Istvan; Marosfalvi, Jenoe;
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     Axxima Pharmaceuticals Ag, Germany; et al.
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     Biozentrum, University of Basel, Klingelbergstr. 50/70, CH-4056 Basel,
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     Switzerland; E-mail: jean.pieters@unibas.ch
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     Biozentrum, University of Basel, Klingelbergstr. 50/70, CH-4056 Basel,
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     Science (New York, N.Y.), (2004 Jun 18) Vol. 304, No. 5678, pp. 1800-4.
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     Electronic Publication: 2004-05-20.
     Journal code: 0404511. E-ISSN: 1095-9203.
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     Entered Medline: 20 Jul 2004
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ΑN
     2006:247378 BIOSIS
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     PREV200600248365
     Inhibitors of a mycobacterial protein kinase target and their
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     conversion into novel drug candidates for Mycobacterium
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     Orfi, L. [Reprint Author]; Koul, A.; Hafenbradl, D.; Klebl, B.; Hoppe, E.;
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     Missio, A.; Mueller, G.; Ullrich, A.; Pato, J.; Waczek, F.; Marko,
     P.; Banhegyi, P.; Greff, Z.; Keri, G.
     Semmelweis Univ, Dept Pharmaceut Chem, Budapest, Hungary
CS
     lorfi@vichem.hu
     FEBS Journal, (JUL 2005) Vol. 272, No. Suppl. 1, pp. 522.
SO
     Meeting Info.: 30th Congress of the Federation-of-European-Biochemical-
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     2005. Federat European Biochem Soc; Int Union Biochem Mol Biol.
     ISSN: 1742-464X. E-ISSN: 1742-4658.
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     Inhibitors of the mycobacterial kinase PknG provide completely
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AU
     Klebl, B. M. [Reprint Author]; Koul, A.; Missio, A.; Pieters, J.
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     Pato, Janos; Keri, Gyorgy; Orfi, Laszlo; Waczek, Frigyes; Horvath, Zoltan;
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DN PREV200700389779 A computational model of the inhibition of Mycobacterium TT tuberculosis ATPase by a new drug candidate R207910. de Jonge, Marc R. [Reprint Author]; Koymans, Luc H. H.; Guillemont, Jerome AU E: G.; Koul, Anil; Andries, Koen BVBA, Molmo Serv, Campus Blairon 424, B-2300 Turnhout, Belgium CS marc@molmo.be Proteins Structure Function and Bioinformatics, (JUN 2007) Vol. 67, No. 4, SO pp. 971-980. CODEN: PSFGEY. ISSN: 0887-3585. DT Article English LA ED Entered STN: 11 Jul 2007 Last Updated on STN: 11 Jul 2007 ANSWER 8 OF 26 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN L18 DUPLICATE 2 AN 2007:431369 BIOSIS PREV200700438829 DN TI Diarylquinolines target subunit c of mycobacterial ATP synthase. Koul, Anil [Reprint Author]; Dendouga, Najoua; Vergauwen, Karen; ΑU Molenberghs, Brenda; Vranckx, Luc; Willebrords, Rudy; Ristic, Zorica; Lill, Holger; Dorange, Ismet; Guillemont, Jerome; Bald, Dirk; Andries, Tibotec BVBA, Dept Antimicrobial Res, Turnhoutseweg 30, B-2340 Beerse, CS Belgium akoul@prdbe.jnj.com Nature Chemical Biology, (JUN 2007) Vol. 3, No. 6, pp. 323-324. SO ISSN: 1552-4450. E-ISSN: 1552-4469. DT Article Editorial LA English ED Entered STN: 15 Aug 2007 Last Updated on STN: 15 Aug 2007 L18 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN 2007:714185 CAPLUS AN147:134382 DN Novel quinoline derivative for treating bacterial infection except TI mycobacteria infection Andries, Koenraad Jozef Lodewijk Marcel; Koul, Anil; Guillemont, IN Jerome Emile Georges; Pasquier, Elisabeth Therese Jeanne Janssen Pharmaceutica N.V., Belg. PA Repub. Korean Kongkae Taeho Kongbo, No pp. given SO CODEN: KRXXA7 Patent DT LA Korean FAN.CNT 1 APPLICATION NO. PATENT NO. KIND DATE DATE \_\_\_\_\_\_ \_ \_ \_ \_ -----KR 2005-49427 20050609 20061214 ΡI KR 2006128191 Α 20050609 PRAI KR 2005-49427 L18 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN ΑN 2006:626680 CAPLUS DN 145:103574 Preparation of quinoline derivatives and their use as TI mycobacterial inhibitors Koul, Anil; Andries, Koenraad Jozef Lodewijk Marcel ΙN PA Janssen Pharmaceutica N.V., Belg. SO Can. Pat. Appl., 62 pp. CODEN: CPXXEB

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    Transcriptional control of the mycobacterial embCAB operon by
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     PknH through a regulatory protein, EmbR, in vivo.
    Sharma, Kirti; Gupta, Meetu; Pathak, Monika; Gupta, Nidhi; Koul,
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    Anil; Sarangi, Smilona; Baweja, Renu; Singh, Yogendra [Reprint
    Authorl
    IGIB, Mall Rd, Delhi 110007, India
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    EP 2004-12814
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    ANSWER 13 OF 26 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on
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    PREV200600021917
    Role of protein kinase G in growth and glutamine metabolism of
ΥT
    Mycobacterium bovis BCG (vol 187, pg 5852, 2005).
    Nguyen, Liem [Reprint Author]; Walburger, Anne; Houben, Edith; Koul,
ΑU
    Anil; Muller, Stefan; Morbitzer, Monika; Klebl, Bert; Ferrari,
    Giorgio; Pieters, Jean
     Univ Basel, Biozentrum, Basel, Switzerland
CS
    Journal of Bacteriology, (OCT 2005) Vol. 187, No. 20, pp. 7165.
SO
     CODEN: JOBAAY. ISSN: 0021-9193.
DT
    Article
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     Entered STN: 21 Dec 2005
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     Last Updated on STN: 21 Dec 2005
    ANSWER 14 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
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     2005:1124743 CAPLUS
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     144:146235
     Role of protein kinase G in growth and glutamine metabolism of
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     Mycobacterium bovis BCG. [Erratum to document cited in
     CA143:321955]
     Nguyen, Liem; Walburger, Anne; Houben, Edith; Koul, Anil;
ΑU
    Muller, Stefan; Morbitzer, Monika; Klebl, Bert; Ferrari, Giorgio; Pieters,
     Jean
     Biozentrum, University of Basel, Basel, Switz.
CS
     Journal of Bacteriology (2005), 187(20), 7165
SO
     CODEN: JOBAAY; ISSN: 0021-9193
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DT
     Journal
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     English
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     Role of protein kinase G in growth and glutamine metabolism of
     Mycobacterium bovis BCG.
     Nguyen, Liem; Walburger, Anne; Houben, Edith; Koul, Anil;
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     Muller, Stefan; Morbitzer, Monika; Klebl, Bert; Ferrari, Giorgio; Pieters,
     Jean [Reprint Author]
     Univ Basel, Biozentrum, Klingelbergstr 50, CH-4056 Basel, Switzerland
CS
     jean.pieters@unibas.ch
     Journal of Bacteriology, (AUG 2005) Vol. 187, No. 16, pp. 5852-5856.
SO
     CODEN: JOBAAY. ISSN: 0021-9193.
DT
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     2004:722914 CAPLUS
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     141:236625
     Inhibitors of mycobacterial serine/threonine protein kinases for
TI
     the treatment of mycobacterial infections
     Pato, Janos; Keri, Gyorgy; Orfi, Laszlo; Waczek, Frigyes; Horvath, Zoltan;
     Banhegyi, Peter; Szabadkai, Istavan; Marosfalvi, Jeno; Hegymegi-Barakonyi,
     Balint; Szekelyhidi, Zsolt; Greff, Zoltan; Choidas, Axel; Bacher, Gerald;
     Missio, Andrea; Koul, Anil
PA
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     U.S. Pat. Appl. Publ., 51 pp., Cont.-in-part of Appl. No. PCT/EP03/03697.
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OS MARPAT 141:236625

- L18 ANSWER 17 OF 26 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN DUPLICATE 5
- AN 2004:327917 BIOSIS
- DN PREV200400325847
- TI Protein kinase G from pathogenic mycobacteria promotes survival within macrophages.
- AU Walburger, Anne; Koul, Anil; Ferrari, Giorgio; Nguyen, Liem; Prescianotto-Baschong, Cristina; Huygen, Kris; Klebl, Bert; Thompson, Charles; Bacher, Gerald; Pieters, Jean [Reprint Author]
- CS Biozentrum, Univ Basel, Klingelbergstr 50-70, CH-4056, Basel, Switzerland jean.pieters@unibas.ch
- SO Science (Washington D C), (June 18 2004) Vol. 304, No. 5678, pp. 1800-1804. print.
  ISSN: 0036-8075 (ISSN print).
- DT Article
- LA English
- ED Entered STN: 29 Jul 2004 Last Updated on STN: 29 Jul 2004
- L18 ANSWER 18 OF 26 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN DUPLICATE 6
- AN 2004:404277 BIOSIS
- DN PREV200400402826
- TI Nucleoside diphosphate kinase as GTPase-activating FEBS 28622 of Mycobacterium tuberculosis acts protein for Rho-GTPases.
- AU Chopra, Puneet; Koduri, Harshavardhan; Singh, Ramandeep; Koul, Anil; Ghildiyal, Megha; Sharma, Kirti; Tyagi, Anil K.; Singh, Yogendra [Reprint Author]
- CS Inst Genom and Integrat Biol, Mall Rd, Delhi, India ysingh@igib.res.in
- SO FEBS Letters, (July 30 2004) Vol. 571, No. 1-3, pp. 212-216. print. CODEN: FEBLAL. ISSN: 0014-5793.
- DT Article
- LA English
- ED Entered STN: 20 Oct 2004 Last Updated on STN: 20 Oct 2004
- L18 ANSWER 19 OF 26 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN DUPLICATE 7
- AN 2004:464275 BIOSIS
- DN PREV200400462713
- TI Interplay between mycobacteria and host signalling pathways.
- AU Koul, Anil [Reprint Author]; Herget, Thomas; Klebl, Bert; Ullrich, Axel
- CS Axxima Pharmaceut AG, Max Lebsche Pl 32, D-81377, Munich, Germany anil.koul@axxima.com
- SO Nature Reviews Microbiology, (March 2004) Vol. 2, No. 3, pp. 189-202. print.
  ISSN: 1740-1526 (ISSN print).
- DT Article
  - General Review; (Literature Review)
- LA English
- ED Entered STN: 1 Dec 2004 Last Updated on STN: 1 Dec 2004
- L18 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2003:818414 CAPLUS
- DN 139:317414
- TI 4,5,6,7-tetrahydrobenzo[b]thiophene derivatives and methods for medical intervention against mycobacterial infections

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Missio, Andrea; Bacher, Gerald; Koul, Anil; Choidas, Axel
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PA
    Axxima Pharmaceuticals A.-G., Germany
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    2003:562194 BIOSIS
    PREV200300550858
DN
    Disruption of mptpB impairs the ability of Mycobacterium
TI
     tuberculosis to survive in guinea pigs.
AU
    Singh, Ramandeep; Rao, Vivek; Shakila, H.; Gupta, Radhika; Khera, Aparna;
    Dhar, Neeraj; Singh, Amit; Koul, Anil; Singh, Yogendra; Naseema,
    M.; Narayanan, P. R.; Paramasivan, C. N.; Ramanathan, V. D.; Tyagi, Anil
     K. [Reprint Author]
    Department of Biochemistry, University of Delhi South campus, Benito
    Juarez Road, New Delhi, 110021, India
    akt1000@hotmail.com
    Molecular Microbiology, (November 2003) Vol. 50, No. 3, pp. 751-762.
SO
    print.
     ISSN: 0950-382X (ISSN print).
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AN
    2003:179722 BIOSIS
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    PREV200300179722
    Cytotoxic activity of nucleoside diphosphate kinase secreted from
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    Mycobacterium tuberculosis.
    Chopra, Puneet; Singh, Anubha; Koul, Anil; Ramachandran, S.;
ΑU
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Drlica, Karl; Tyaqi, Anil K.; Singh, Yogendra [Reprint Author]
    Institute of Genomics and Integrative Biology, Mall Road, Near Jubilee
CS
    Hall, Delhi, 110 007, India
    ysingh@cbt.res.in
    European Journal of Biochemistry, (February 2003) Vol. 270, No. 4, pp.
SO
     625-634. print.
     ISSN: 0014-2956 (ISSN print).
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     Phosphoprotein phosphatase of Mycobacterium tuberculosis
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     dephosphorylates serine-threonine kinases PknA and PknB.
     Chopra, Puneet; Singh, Bhuminder; Singh, Ramandeep; Vohra, Reena;
AU
     Koul, Anil; Meena, Laxman S.; Koduri, Harshavardhan; Ghildiyal,
    Megha; Deol, Parampal; Das, Taposh K.; Tyagi, Anil K.; Singh, Yogendra
     [Reprint Author]
     Institute of Genomics and Integrative Biology, Mall Road, Delhi, India
CS
    ysingh@igib.res.in; ysingh30@hotmail.com
    Biochemical and Biophysical Research Communications, (November 7 2003)
SO
    Vol. 311, No. 1, pp. 112-120. print.
     CODEN: BBRCA9. ISSN: 0006-291X.
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    English
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     Entered STN: 31 Dec 2003
     Last Updated on STN: 31 Dec 2003
    ANSWER 24 OF 26 CAPLUS COPYRIGHT 2007 ACS on STN
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    2001:798298 CAPLUS
AN
     135:356745
DN
     Inhibition of secretory tyrosine phosphatases from Mycobacterium
TI
     tuberculosis
     Ullrich, Axel; Koul, Anil
IN
    Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Germany
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    PCT Int. Appl., 51 pp.
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L18 ANSWER 25 OF 26 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on
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ΑN
     2001:440206 BIOSIS
     PREV200100440206
DN
     Serine/threonine protein kinases PknF and PknG of Mycobacterium
TI
     tuberculosis: Characterization and localization.
     Koul, Anil; Choidas, Axel; Tyagi, Anil K.; Drlica, Karl; Singh,
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     Yogendra [Reprint author]; Ullrich, Axel
     Centre for Biochemical Technology, Mall Road, Delhi, 110 007, India
CS
     ysingh@cbt.res.in
     Microbiology (Reading), (August, 2001) Vol. 147, No. 8, pp. 2307-2314.
SO
     print.
     ISSN: 1350-0872.
DT
     Article
LA
     English
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     Entered STN: 19 Sep 2001
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L18 ANSWER 26 OF 26 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on
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     STN
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     2000:439757 BIOSIS
     PREV200000439757
DN
     Cloning and characterization of secretory tyrosine phosphatases of
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     Mycobacterium tuberculosis.
     Koul, Anil; Choidas, Axel; Treder, Martin; Tyagi, Anil K.;
ΑU
     Drlica, Karl; Singh, Yogendra; Ullrich, Axel [Reprint author]
     Department of Molecular Biology, Max-Planck-Institut fuer Biochemie, Am
CS
     Klopferspitz 18A, 82152, Martinsried, Germany
     Journal of Bacteriology, (October, 2000) Vol. 182, No. 19, pp. 5425-5432.
SO
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     CODEN: JOBAAY. ISSN: 0021-9193.
DT
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LA
     Entered STN: 18 Oct 2000
ED
     Last Updated on STN: 10 Jan 2002
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L22 15 DUP REM L21 (8 DUPLICATES REMOVED)

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- L22 ANSWER 1 OF 15 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN DUPLICATE 1
- AN 2003:68236 BIOSIS
- DN PREV200300068236
- TI Synthesis and antimycobacterial activity of pyrazine and quinoxaline derivatives.
- AU Seitz, Lainne E.; Suling, William J.; Reynolds, Robert C. [Reprint Author]
- CS Organic Chemistry Department, Southern Research Institute, P.O. Box 55305, Birmingham, AL, 35255-5305, USA reynolds@sri.org
- SO Journal of Medicinal Chemistry, (December 5 2002) Vol. 45, No. 25, pp. 5604-5606. print.

  ISSN: 0022-2623 (ISSN print).
- DT Article
- LA English
- ED Entered STN: 29 Jan 2003 Last Updated on STN: 29 Jan 2003
- AB A series of pyrazine and quinoxaline derivatives have been synthesized, and their activity against M. tuberculosis (Mtb) and Mycobacterium avium (MAC) are reported. The 4-acetoxybenzyl ester of pyrazinoic acid and 4'-acetoxybenzyl 2-quinoxalinecarboxylate showed excellent activity against Mtb (MIC ranges of less than 1-6.25 mug/mL) but only modest activity against MAC (MICs of 4-32 mug/mL).
- TI Synthesis and antimycobacterial activity of pyrazine and quinoxaline derivatives.
- AB A series of pyrazine and quinoxaline derivatives have been synthesized, and their activity against M. tuberculosis (Mtb) and Mycobacterium avium (MAC) are reported. The 4-acetoxybenzyl ester of pyrazinoic acid and 4'-acetoxybenzyl 2-quinoxalinecarboxylate showed excellent activity against Mtb (MIC ranges. . .

ORGN Classifier

Mycobacteriaceae 08881

Super Taxa

Mycobacteria; Actinomycetes and Related Organisms;

Eubacteria; Bacteria; Microorganisms

Organism Name

Mycobacterium avium (species): pathogen

Mycobacterium tuberculosis (species): pathogen

Taxa Notes

Bacteria, Eubacteria, Microorganisms

- L22 ANSWER 2 OF 15 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN DUPLICATE 2
- AN 2002:232356 BIOSIS
- DN PREV200200232356
- TI Anti-Mycobacterium tuberculosis agents derived from

quinoxaline-2-carbonitrile and quinoxaline-2-carbonitrile 1,4-di-N-oxide.

AU Ortega, Miguel Angel; Sainz, Yolanda; Montoya, Maria Elena; Jaso, Andres; Zarranz, Belen; Aldana, Ignacio; Monge, Antonio [Reprint author]

CS Centro de Investigacion en Farmacobiologia Apliacada, Universidad de Navarra, 31080, Pamplona, Spain amonge@unav.es

- SO Arzneimittel-Forschung, (2002) Vol. 52, No. 2, pp. 113-119. print. CODEN: ARZNAD. ISSN: 0004-4172.
- DT Article
- LA English
- ED Entered STN: 3 Apr 2002 Last Updated on STN: 10 May 2002
- AB In this paper new quinoxaline derivatives with different substituents in positions 3, 6, 7 and 8 are reported. Their biological activities against Mycobacterium tuberculosis have been assessed and most of the 1,4-di-N-oxide derivatives have been shown to strongly inhibit the bacteria growth in the first in vitro screening. One of these N-oxides (4) is a promising candidate due to its good Selectivity Index (7.95). On the other hand, those compounds without N-oxide moieties showed no or very low activity (growth inhibition: 17% and 39%).
- TI Anti-Mycobacterium tuberculosis agents derived from quinoxaline-2-carbonitrile and quinoxaline-2-carbonitrile 1,4-di-N-oxide.
- AB In this paper new quinoxaline derivatives with different substituents in positions 3, 6, 7 and 8 are reported. Their biological activities against Mycobacterium tuberculosis have been assessed and most of the 1,4-di-N-oxide derivatives have been shown to strongly inhibit the bacteria growth in. . .

ORGN Classifier

Mycobacteriaceae 08881

Super Taxa

Mycobacteria; Actinomycetes and Related Organisms;

Eubacteria; Bacteria; Microorganisms

Organism Name

Mycobacterium tuberculosis

Taxa Notes

Bacteria, Eubacteria, Microorganisms

- L22 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2001:202178 CAPLUS
- TI Synthesis and antimycobacterial activity of pyrazine and quinoxaline derivatives
- AU Seitz, Lainne E.; Suling, William J.; Reynolds, Robert C.
- CS Division of Organic Chemistry, Southern Research Institute, Birmingham, AL, 35255-5305, USA
- SO Abstracts of Papers, 221st ACS National Meeting, San Diego, CA, United States, April 1-5, 2001 (2001) MEDI-273 CODEN: 69FZD4
- PB American Chemical Society
- DT Journal; Meeting Abstract
- LA English
- AB Mycobacterium tuberculosis (TB) is estimated to infect approx. one third of the world's population and kills over 2 million people annually. There is a critical need for new, more effective antitubercular agents. Pyrazinamide (PZA) is commonly used in combination with other drugs as a treatment of tuberculosis. In our research program for the discovery and development of antitubercular drugs, a series of pyrazine and quinoxaline derivs. were synthesized and their mycobacterial activity against Mycobacterium tuberculosis and Mycobacterium avium (MAC) were evaluated. Certain analogs showed excellent activity with MIC values <  $6.25~\mu g/mL$ . The synthesis and antimycobacterial activity of these compds. will be presented.
- TI Synthesis and antimycobacterial activity of pyrazine and quinoxaline derivatives

- Mycobacterium tuberculosis (TB) is estimated to infect approx. one third of the world's population and kills over 2 million people annually. There is a critical need for new, more effective antitubercular agents. Pyrazinamide (PZA) is commonly used in combination with other drugs as a treatment of tuberculosis. In our research program for the discovery and development of antitubercular drugs, a series of pyrazine and quinoxaline derivs. were synthesized and their mycobacterial activity against Mycobacterium tuberculosis and Mycobacterium avium (MAC) were evaluated. Certain analogs showed excellent activity with MIC values <  $6.25~\mu\text{g/mL}$ . The synthesis and antimycobacterial activity of these compds. will be presented.
- L22 ANSWER 4 OF 15 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN

AN 2001:297251 BIOSIS

DN PREV200100297251

- TI Synthesis and antimycobacterial activity of pyrazine and quinoxaline derivatives.
- AU Seitz, Lainne E. [Reprint author]; Suling, William J.; Reynolds, Robert C. [Reprint author]
- CS Division of Organic Chemistry, Southern Research Institute, Birmingham, AL, 35255-5305, USA seitz@sri.org
- SO Abstracts of Papers American Chemical Society, (2001) Vol. 221, No. 1-2, pp. MEDI 273. print.

  Meeting Info.: 221st National Meeting of the American Chemical Society.

  San Diego, California, USA. April 01-05, 2001. American Chemical Society.
- CODEN: ACSRAL. ISSN: 0065-7727.

  DT Conference; (Meeting)
  Conference; Abstract; (Meeting Abstract)

LA English

ED Entered STN: 20 Jun 2001 Last Updated on STN: 19 Feb 2002

- TI Synthesis and antimycobacterial activity of pyrazine and quinoxaline derivatives.
- IT Major Concepts

Infection; Pharmacology

IT Diseases

tuberculosis: bacterial disease

Tuberculosis (MeSH)

IT Chemicals & Biochemicals

pyrazine derivatives; quinoxaline derivatives

ORGN Classifier

Mycobacteriaceae 08881

Super Taxa

Mycobacteria; Actinomycetes and Related Organisms;

Eubacteria; Bacteria; Microorganisms

Organism Name

Mycobacterium avium

Mycobacterium tuberculosis

Taxa Notes

Bacteria, Eubacteria, Microorganisms

- L22 ANSWER 5 OF 15 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN DUPLICATE 3
- AN 2001:158943 BIOSIS
- DN PREV200100158943
- TI Quinoxaline derivatives as potential antituberculotic
- AU Kunes, J. [Reprint author]; Spulak, M.; Waisser, K.; Slosarek, M.; Janota,
- CS Department of Inorganic and Organic Chemistry, Faculty of Pharmacy, Charles University, Heyrovskeho 1203, 50005, Hradec Kralove, Czech Republic kunes@faf.cuni.cz

```
Pharmazie, (November, 2000) Vol. 55, No. 11, pp. 858-859. print.
SO
     CODEN: PHARAT. ISSN: 0031-7144.
דת
     Article
     English
LA
     Entered STN: 28 Mar 2001
ED
     Last Updated on STN: 15 Feb 2002
     Quinoxaline derivatives as potential antituberculotic
ΤI
     agents.
     Major Concepts
IT
        Pharmacology
     Chemicals & Biochemicals
IT
          quinoxaline derivatives: activity, antituberculotic
        agent, structure, synthesis
ORGN Classifier
          Mycobacteriaceae
                             08881
     Super Taxa
          Mycobacteria; Actinomycetes and Related Organisms;
        Eubacteria; Bacteria; Microorganisms
     Organism Name
          Mycobacterium avium
          Mycobacterium fortuitum
          Mycobacterium intracellulare
          Mycobacterium kansasii
          Mycobacterium tuberculosis
     Taxa Notes
        Bacteria, Eubacteria, Microorganisms
L22 ANSWER 6 OF 15 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN
     DUPLICATE 4
     1997:511870 BIOSIS
ΑN
     PREV199799811073
DN
     Antimycobacterial activity of some 2,3-dianilinoquinoxaline
TI
     derivatives.
     Waisser, K. [Reprint author]; Beckert, R.; Slosafrek, M.; Janota, J.
ΑU
     Heyrovsky-Str. 1203, CZ 50005 Hradec Kralove, Czech Republic
CS
     Pharmazie, (1997) Vol. 52, No. 10, pp. 797-798.
SO
     CODEN: PHARAT. ISSN: 0031-7144.
DT
     Article
LΑ
    English
     Entered STN: 10 Dec 1997
ED
     Last Updated on STN: 10 Dec 1997
     The antimycobacterial activity of 2,3-dianilinoquinoxaline
AB
     derivatives was studied and the substances were found to be mostly
     wide-spectrum antimycobacterial agents. Replacement of one nitrogen atom
     in the heterocycle for oxygen or sulfur was usually accompanied by loss of
     activity. The model structure for the study was the wide-spectrum
     antimycobacterial drug clofazimine.
     Antimycobacterial activity of some 2,3-dianilinoquinoxaline
ΤI
     derivatives.
     The antimycobacterial activity of 2,3-dianilinoquinoxaline
AB
     derivatives was studied and the substances were found to be mostly
     wide-spectrum antimycobacterial agents. Replacement of one nitrogen atom
     in the.
     Miscellaneous Descriptors
IT
        ANTIBACTERIAL-DRUG; ANTIBIOTICS; ANTIMYCOBACTERIAL ACTIVITY; BACTERIAL
        DISEASE; BIOBUSINESS; CLOFAZIMINE; MOLECULAR STRUCTURES; PHARMACOLOGY;
        TUBERCULOSIS; 2,3-DIANILINOQUINOXALINE DERIVATIVES
ORGN
Taxa Notes
        Bacteria, Eubacteria, Microorganisms
ORGN Classifier
                         01000
        Microorganisms
     Super Taxa
```

Microorganisms

Organism Name microorganism

Taxa Notes

Microorganisms

ORGN Classifier

Mycobacteriaceae 08881

Super Taxa

Mycobacteria; Actinomycetes and Related Organisms;

Eubacteria; Bacteria; Microorganisms

Organism Name

Mycobacterium spp.

Taxa Notes

Bacteria, Eubacteria, Microorganisms

- L22 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1997:672543 CAPLUS
- DN 127:328824
- TI Antimycobacterial activity of some 2,3-dianilinoquinoxaline derivatives with substituents in position 6
- AU Waisser, K.; Beckert, R.; Slosarek, M.; Janota, J.
- CS Faculty Pharmacy, Charles University, Hradec Kralove, 50005, Czech Rep.
- SO Scientia Pharmaceutica (1997), 65(3), 109-112 CODEN: SCPHA4; ISSN: 0036-8709
- PB Oesterreichische Apotheker-Verlagsgesellschaft
- DT Journal
- LA English
- The 2,3-dianilinoderivatives can be considered to be potential antimycobacterial substances with a wide spectrum of effects. The present paper investigated the effect of a substituent in position 6 of 2,3-dianilinoquinoxaline on the antimicrobial activity against Mycobacterium tuberculosis, M. kansasii, M. fortuitum, M. avium, and M. intracellulare. The electron-donor activities of a substituent in the above mentioned position increase the activity, on the other hand the presence of the electron-acceptor substituents (nitrogroups, benzoyl) results in a strong decrease or even a loss of activity. Replacement one or 2 of the N atoms in quinoxaline for S also results in a decrease or even a loss of activity.
- TI Antimycobacterial activity of some 2,3-dianilinoquinoxaline derivatives with substituents in position 6
- AB The 2,3-dianilinoderivatives can be considered to be potential antimycobacterial substances with a wide spectrum of effects. The present paper investigated the effect of a substituent in position 6 of 2,3-dianilinoquinoxaline on the antimicrobial activity against Mycobacterium tuberculosis, M. kansasii, M. fortuitum, M. avium, and M. intracellulare. The electron-donor activities of a substituent in the above mentioned position increase the activity, on the other hand the presence of the electron-acceptor substituents (nitrogroups, benzoyl) results in a strong decrease or even a loss of activity. Replacement one or 2 of the N atoms in quinoxaline for S also results in a decrease or even a loss of activity.
- ST dianilinoquinoxaline deriv Mycobacterium antibacterial tuberculostatic
- IT Antibacterial agents

Mycobacterium avium

Mycobacterium fortuitum

Mycobacterium intracellulare

Mycobacterium kansasii

Mycobacterium tuberculosis

Tuberculostatics

(antimycobacterial activity of some 2,3-dianilinoquinoxaline derivs. with substituents in position 6)

L22 ANSWER 8 OF 15 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN DUPLICATE 5

AN 1995:388699 BIOSIS DN PREV199598402999 Synthesis of some quinoxaline derivatives containing TI indoline-2,3-dione or thiazolidinone residue as potential antimicrobial agents. El-Gendy, Adel A. [Reprint author]; El-Meligie, Salwa; El-Ansary, Afaf K.; ΑU Ahmedy, Aly M. Organic Chem. Dep., Fac. Pharm., Cairo Univ., 11562 Cairo, Egypt CS Archives of Pharmacal Research (Seoul), (1995) Vol. 18, No. 1, pp. 44-47. SO CODEN: APHRDQ. ISSN: 0253-6269. DTArticle English LA ED Entered STN: 13 Sep 1995 Last Updated on STN: 10 Oct 1995 The synthesis of some quinoxaline derivatives AB containing indoline-2,3-dione or thiazolidinone residue is described. synthesized derivatives were screened in vitro for their growth inhibitory activity against six species of bacteria, viz. Staphylococcus aureus, Streptococcus faecalis, Escherichia coli, Pseudomonas aeruginosa, Serratia marcescens and Mycobacterium smegmatis. Most of the compounds exhibited antimicrobial activity especially those having indoline-2,3-dione moiety. Synthesis of some quinoxaline derivatives containing TI indoline-2,3-dione or thiazolidinone residue as potential antimicrobial agents. The synthesis of some quinoxaline derivatives AB · containing indoline-2,3-dione or thiazolidinone residue is described. synthesized derivatives were screened in vitro for their growth inhibitory activity against six species of bacteria, viz. Staphylococcus aureus, Streptococcus faecalis, Escherichia coli, Pseudomonas aeruginosa, Serratia marcescens and Mycobacterium smegmatis. Most of the compounds exhibited antimicrobial activity especially those having indoline-2,3-dione moiety. ORGN . 07702 Micrococcaceae Super Taxa Gram-Positive Cocci; Eubacteria; Bacteria; Microorganisms Organism Name Staphylococcus aureus Taxa Notes Bacteria, Eubacteria, Microorganisms ORGN Classifier Mycobacteriaceae 08881 Super Taxa Mycobacteria; Actinomycetes and Related Organisms; Eubacteria; Bacteria; Microorganisms Organism Name Mycobacterium smegmatis Taxa Notes Bacteria, Eubacteria, Microorganisms ORGN Classifier Pseudomonadaceae 06508 Super Taxa Gram-Negative Aerobic Rods and Cocci; Eubacteria; Bacteria; Microorganisms L22 ANSWER 9 OF 15 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN 1984:329036 BIOSIS AN PREV198478065516; BA78:65516 DN BROMINE ANALOGS OF QUINOXIDINE DIOXIDINE AND DI N OXIDES OF 3 ΤI HYDROXYMETHYL QUINOXALINE-2-CARBOXYLIC-ACID AMIDES. MUSATOVA I S [Reprint author]; ELINA A S; SOLOV'EVA N P; POLUKHINA L M; ΑU MOSKALENKO N YU; PERSHIN G N

S ORDZHONIKIDZE ALL-UNION RES CHEM-PHARM INST, MOSCOW, USSR

CS

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Khimiko-Farmatsevticheskii Zhurnal, (1983) Vol. 17, No. 11, pp. 1307-1312.
 SO
      CODEN: KHFZAN. ISSN: 0023-1134.
 דת
      Article
 FS
      RΑ
     RUSSIAN
 LΑ
      Bromine analogs of the biologically active quinoxaline
 AB
      derivatives were synthesized in order to study their antimicrobial
      activity. The activity was tested in vitro with respect to 4
      gram-positive bacterial spp., 5 gram-negative bacterial spp., 3
      mycobacterial spp. and 5 pathogenic fungus spp. The majority of
      the compounds possessed an expressed activity with respect to
      gram-positive and acid-resistant mycobacteria.
      2-Carbetoxy-3-methyl-7-bromoquinoxaline di-N-oxide had a broad spectrum of
      activity, as did the compounds C11H10BrN3O4 and C12H12BrN3O5. All
      compounds possessed sufficiently pronounced antituberculosis activity.
      C11H10BrN3O4, C13H12BrN3O4 and C18H16BrN3O4 were the most effective
      compounds with respect to Mycobacterium tuberculosis strain
      H37Rv.
      Bromine analogs of the biologically active quinoxaline
· AB
      derivatives were synthesized in order to study their antimicrobial
      activity. The activity was tested in vitro with respect to 4
      gram-positive bacterial spp., 5 gram-negative bacterial spp., 3
      mycobacterial spp. and 5 pathogenic fungus spp. The majority of
      the compounds possessed an expressed activity with respect to
      gram-positive and acid-resistant mycobacteria.
      2-Carbetoxy-3-methyl-7-bromoquinoxaline di-N-oxide had a broad spectrum of
      activity, as did the compounds CllH10BrN3O4 and Cl2H12BrN3O5. All
      compounds possessed sufficiently pronounced antituberculosis activity.
      C11H10BrN3O4, C13H12BrN3O4 and C18H16BrN3O4 were the most effective
      compounds with respect to Mycobacterium tuberculosis strain
     H37Rv.
 IT
     Miscellaneous Descriptors
           MYCOBACTERIUM-TUBERCULOSIS BACTERIA FUNGUS 2
         CARBETOXY-3-METHYL-7-BROMO QUINOXALINE DI-N OXIDE ANTIBACTERIAL-DRUG
         ANTIFUNGAL-DRUG/
 ORGN Classifier
                   05000
        Bacteria
      Super Taxa
         Microorganisms
      Taxa Notes
         Bacteria, Eubacteria, Microorganisms
 ORGN Classifier
          Mycobacteriaceae 08881
      Super Taxa
          Mycobacteria; Actinomycetes and Related Organisms;
         Éubacteria; Bacteria; Microorganisms
         Bacteria, Eubacteria, Microorganisms
 ORGN Classifier
         Fungi
                15000
      Super Taxa
         Plantae
 L22 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN
      1979:6351 CAPLUS
DN
      90:6351
      Studies in quinoxaline series.
                                      Part X.
                                               Synthesis of 2-methyl-3-oxo-3,4-
ΤI
      dihydroquinoxaline derivatives
      Toman, Jaromir; Klicnar, Jiri; Machacek, Vladimir
ΑU
      Org. Chem. Dep., Inst. Chem. Technol., Pardubice, Czech.
CS
      Collection of Czechoslovak Chemical Communications (1978), 43(8), 2179-89
 SO
      CODEN: CCCCAK; ISSN: 0366-547X
DT
      Journal
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LΑ
    English
OS
     CASREACT 90:6351
     I (R1, R2, R3, R4 = H, Me, C1, NO2, MeO) were prepared by reaction of
AΒ
     MeCOCH2CO2Et with 3-oxo-3,4-dihydroquinoxaline 1-oxides obtained by
     cyclization of 2'-nitroacetoacetanilides or from 1,2-diaminobenzenes and
    'EtO2CCH2COCO2Et or EtO2CC.tplbond.CCO2Et. II (R = H, Me, Ph; R1 = H, NO2)
     were prepared from 1,2-diaminobenzenes and EtO2CCOCHRCN. Several N-Me
     derivs. of I (R1-4 = H) and III (R = CO2Et, CN, NO2; R1 = C1, OH, Me, MeO)
     were prepared Out of 7 tested compds., I (R1 = R3 = NO2, R2 = R4 = H) is
     the most active against Staphylococcus pyogenes aureus,
     Mycobacterium tbc. H37Rv, Trichophyton mentagrophytes and
     Saccharomyces pasterianus.
     Studies in quinoxaline series. Part X. Synthesis of 2-methyl-3-oxo-3,4-
TI
     dihydroquinoxaline derivatives
     I (R1, R2, R3, R4 = H, Me, C1, NO2, MeO) were prepared by reaction of
AB
     MeCOCH2CO2Et with 3-oxo-3,4-dihydroquinoxaline 1-oxides obtained by
     cyclization of 2'-nitroacetoacetanilides or from 1,2-diaminobenzenes and
     EtO2CCH2COCO2Et or EtO2CC.tplbond.CCO2Et. II (R = H, Me, Ph; R1 = H, NO2)
     were prepared from 1,2-diaminobenzenes and EtO2CCOCHRCN. Several N-Me
     derivs of I (R1-4 = H) and III (R = CO2Et, CN, NO2; R1 = Cl, OH, Me, MeO)
     were prepared Out of 7 tested compds., I (R1 = R3 = NO2, R2 = R4 = H) is
     the most active against Staphylococcus pyogenes aureus,
     Mycobacterium tbc. H37Rv, Trichophyton mentagrophytes and
     Saccharomyces pasterianus.
L22 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN
     1960:34350 CAPLUS
     54:34350
DN
OREF 54:6769f-h
     Quinoxaline derivatives
     Asano, Kazuo; Asai, Sotoo; Inoue, Naoyuki
IN
     Dai-ichi Industrial Drug Manufg. Co.
PΑ
DT
     Patent
     Unavailable
LA
FAN.CNT 1
                                            APPLICATION NO.
                                                                   DATE
     PATENT NO.
                         KIND
                                DATE
                         ----
     JP 34008524
                         B4
                                19590922
                                            JΡ
PI
     To a suspension of 50 g. 2,3-dimercaptoquinoxaline in 1 l. H2O is added 16
AΒ
     g. 80% aqueous solution N2H4.H2O, heated 1 hr. at 100°, and filtered to
     give 31.4 g. 2-mercapto-3-hydrazinoquinoxaline (I), m. 254°
     (decomposition) (70% aqueous solution of dioxane). Antibacterial activity of
I in
     vitro is as follows (bacteria and min. growth inhibition concentration are):
     Mycobacterium tuberculosis, 0.78; Escherichia coli, 1.56;
     Pseudomonas aeruginosa, 12.5; Salmonella paratyphi, 0.78; S. typhosa,
     3.12; Shigella dysenteriae, 0.39-0.78; Vibrio comma, 0.78; Staphylococcus
     aureus, 3.12; S. albus, 3.12; Streptococcus J17A4, 0.78; Bacillus agri,
     0.78; B. subtilis, 0.78; Sartina lutea, 6.25; Candida albicans, 6.25; C.
     tropicalis, 6.25; C. paracrusei, 1.56; Aspergillus niger, 6.25; A. oryzae,
     6.25; A. fumigus, 3.12; A. glaucus, 6.25. The following
     N-3-(2-mercapto) quinoxalyl-N'-R-substituted hydrazines are prepared from I
     (R, appearance, and m.p. (decomposition) given): glucosyl, yellow needles,
     183-4°; glucuronoyl, yellow microneedles, 202-5°; acetyl,
     yellow microneedles, above 300°; pyruvoyl, yellow powder,
     245°. These derivs. are H2O-soluble
TT
     Quinoxaline derivatives
     To a suspension of 50 g. 2,3-dimercaptoquinoxaline in 1 l. H2O is added 16
AB
     g. 80% aqueous solution N2H4.H2O, heated 1 hr. at 100°, and filtered to
     give 31.4 g. 2-mercapto-3-hydrazinoquinoxaline (I), m. 254°
```

I in vitro is as follows (bacteria and min. growth inhibition concentration are): Mycobacterium tuberculosis, 0.78; Escherichia coli, 1.56;

(decomposition) (70% aqueous solution of dioxane). Antibacterial activity of

Pseudomonas aeruginosa, 12.5; Salmonella paratyphi, 0.78; S. typhosa, 3.12; Shigella dysenteriae, 0.39-0.78; Vibrio comma, 0.78; Staphylococcus aureus, 3.12; S. albus, 3.12; Streptococcus J17A4, 0.78; Bacillus agri, 0.78; B. subtilis, 0.78; Sartina lutea, 6.25; Candida albicans, 6.25; C. tropicalis, 6.25; C. paracrusei, 1.56; Aspergillus niger, 6.25; A. oryzae, 6.25; A. fumigus, 3.12; A. glaucus, 6.25. The following N-3-(2-mercapto)quinoxalyl-N'-R-substituted hydrazines are prepared from I (R, appearance, and m.p. (decomposition) given): glucosyl, yellow needles, 183-4°; glucuronoyl, yellow microneedles, 202-5°; acetyl, yellow microneedles, above 300°; pyruvoyl, yellow powder, 245°. These derivs. are H2O-soluble

- L22 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1959:122219 CAPLUS
- DN 53:122219
- OREF 53:21979f-i
- TI Chemotherapeutics. VII. Syntheses of hydrazinoquinoxaline derivatives. 2
- AU Asano, Kazuo; Asai, Sotoo
- CS Daiichi Pharm. Co., Takatsuki, Osaka-fu
- SO Yakugaku Zasshi (1959), 79, 661-3 CODEN: YKKZAJ; ISSN: 0031-6903
- DT Journal
- LA Unavailable
- AB 2-Mercaptoquinoxaline (I) (0.5 g.) in 5 ml. EtOH and 0.16 g. 80% N2H4.H2O (II) refluxed 1 hr. and the solution cooled gave 0.3 g. 2hydrazinoquinoxaline, needles, m. 167° (decomposition). 2,3-Dichloroquinoxaline (1 g.) in 15 ml. 8.7% MeSH-C6H6 and 0.25 g. Na heated 13 hrs. at 50-60° and the product recrystd. (EtOH) gave 2-methylthio-3-chloroquinoxaline (III), needles, m. 102-3°. III (0.5 g.) in 10 ml. 5% KOH absorbed 1 g. H2S; the product heated 10 hrs. and the solution acidified gave 2-methylthio-3-mercaptoquinoxaline (IV), needles, m. 227° (EtOH). IV (0.2 g.) in 3 ml. EtOH and 0.5 ml. II refluxed 1 hr. gave 0.14 g. 2-methylthio-3-hydrazinoquinoxaline (V), needles, m. 157° (MeOH). 2-Mercapto-3-hydrazinoquinoxaline (VI) (1 g.) in 20 ml. C5H5N and 0.3 g. Ac2O or 0.41 g. AcCl refluxed 1 hr. and the C5H5N removed gave 0.7 g. 3-AcNHNH analog (VII) of VI, m. above 300° (EtOH). VII could be prepared also by refluxing 1 g. VI and 0.8 g. 1-acetyl-3,5-dimethylpyrazole in EtOH. VI (1 g.) and 1.1 g. 1-isonicotinoyl-3,5-dimethylpyrazole (VIII) in 15 ml. EtOH treated as above gave 2-mercapto-3-isonicotinoylhydrazinoquinoxaline, needles, m. above 300° (C5H5N). Similarly, 1.5 g. VI and 1.3 g. 3-ClCOC5H4N gave 2-mercapto-3-nicotinoylhydrazinoquinoxaline, m. above 300°. VII (0.5 g.) in 20 ml. EtOH and 2N HCl heated 15 min. on a H2O bath and the product filtered off gave 0.4 g. 1-methyl-4-mercapto-1,2,4triazolo[4,3-a]quinoxaline in needles. 2-Hydroxy-3-hydrazinoquinoxaline (IX) (0.5 g.) and 0.5 g. 1-acetyl-3,5-dimethylpyrazole in 10 ml. EtOH refluxed 6 hrs. gave the 3-AcNHNH derivative of IX, needles, m. above 300°. IX (0.5 g.) and 0.6 g. VIII yielded 0.6 g. 2-hydroxy-3-isonicotinoylhydrazinoquinoxaline, m. above 300°. None of these compds. showed higher antibacterial action than VI which inhibited the growth of Mycobacterium tuberculosis H 37 Rv. at the dilution of 1.56  $\gamma/ml$ .
- TI Chemotherapeutics. VII. Syntheses of hydrazinoquinoxaline derivatives. 2
- AB 2-Mercaptoquinoxaline (I) (0.5 g.) in 5 ml. EtOH and 0.16 g. 80% N2H4.H2O (II) refluxed 1 hr. and the solution cooled gave 0.3 g. 2-hydrazinoquinoxaline, needles, m. 167° (decomposition).

  2,3-Dichloroquinoxaline (1 g.) in 15 ml. 8.7% MeSH-C6H6 and 0.25 g. Na heated 13 hrs. at 50-60° and the product recrystd. (EtOH) gave 2-methylthio-3-chloroquinoxaline (III), needles, m. 102-3°. III (0.5 g.) in 10 ml. 5% KOH absorbed 1 g. H2S; the product heated 10 hrs. and the solution acidified gave 2-methylthio-3-mercaptoquinoxaline (IV), needles, m. 227° (EtOH). IV (0.2 g.) in 3 ml. EtOH and 0.5 ml. II

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refluxed 1 hr. gave 0.14 g. 2-methylthio-3-hydrazinoquinoxaline (V),
needles, m. 157° (MeOH). 2-Mercapto-3-hydrazinoquinoxaline (VI) (1
g.) in 20 ml. C5H5N and 0.3 g. Ac2O or 0.41 g. AcCl refluxed 1 hr. and the
C5H5N removed gave 0.7 g. 3-AcNHNH analog (VII) of VI, m. above
300° (EtOH). VII could be prepared also by refluxing 1 g. VI and 0.8 \,
g. 1-acetyl-3,5-dimethylpyrazole in EtOH. VI (1 g.) and 1.1 g.
1-isonicotinoyl-3,5-dimethylpyrazole (VIII) in 15 ml. EtOH treated as
above gave 2-mercapto-3-isonicotinoylhydrazinoquinoxaline, needles, m.
above 300° (C5H5N). Similarly, 1.5 g. VI and 1.3 g. 3-ClCOC5H4N
gave 2-mercapto-3-nicotinoylhydrazinoquinoxaline, m. above 300°.
VII (0.5 g.) in 20 ml. EtOH and 2N HCl heated 15 min. on a H2O bath and
the product filtered off gave 0.4 g. 1-methyl-4-mercapto-1,2,4-
triazolo[4,3-a]quinoxaline in needles. 2-Hydroxy-3-hydrazinoquinoxaline
(IX) (0.5 g.) and 0.5 g. 1-acetyl-3,5-dimethylpyrazole in 10 ml. EtOH
refluxed 6 hrs. gave the 3-AcNHNH derivative of IX, needles, m. above
300°. IX (0.5 g.) and 0.6 g. VIII yielded 0.6 g.
2-hydroxy-3-isonicotinoylhydrazinoquinoxaline, m. above 300°. None
of these compds. showed higher antibacterial action than VI which
inhibited the growth of Mycobacterium tuberculosis H 37 Rv. at
the dilution of 1.56 \gamma/ml.
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L22 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
     1959:73915 CAPLUS
DN
     53:73915
OREF 53:13401b-f
     Chemotherapeutics. IV. Antibacterial action of 2-mercapto-3-
     hydrazinoquinoxaline derivatives on various bacteria
     Asano, Kazuo; Asai, Satoo; Inoue, Naoyuki
ΑU
CS
     Daiichi Seiyaku Co., Osaka-fu
SO
     Yakugaku Zasshi (1959), 79, 368-70
     CODEN: YKKZAJ; ISSN: 0031-6903
     Journal
DT
LA
     Unavailable
     cf. C.A. 53, 10242d. 2-Mercapto-3-hydrazinoquinoxaline (I) (0.5 g.) and
AB
     0.7 g. glucuronolactone in 15 ml. 50% AcOH were dissolved by heating, kept
     overnight, and the product filtered off to give 0.69 g. product; this in a
     small amount of H2O heated for 30 min. on a water bath and cooled gave
     glucuronic acid 2-mercapto-3-quinoxalinylhydrazone, m. 185°
     (decomposition); this in MeOH refluxed for 3 hrs. and cooled gave
     glucuronolactone 2-mercapto-3-quinoxalinylhydrazone, m. 213°
     (decomposition). Similarly were prepared other 3-NHN:R analogs of I (R, m.p.
and
     min. inhibitory concentration in \gamma/ml. for Mycobacterium
     tuberculosis H37Rv given): O.CH:CH.CH:CCH:, 244° (decomposition), 12.5;
     O.CH:CH.CH:CCMe:, 271° (decomposition), 12.5; glucosyl, 184°
     (decomposition), 6.25; arabinosyl, 137° (decomposition), 6.25; rhamnosyl,
     205° (decomposition), 25; HO2CCMe:, 245° (decomposition), 25;
     EtO2CCMe:, 239°, -; HO2C[Me(CH2)8]C:, 218° (decomposition), 50;
     HO2C[Me(CH2)16]C:, 209° (decomposition), 50; EtO2CCH2CMe:, 189°,
     6.25; EtO2CCHMeCMe:, 202°, 6.25; EtO2CCHPrCMe:, 229°, 12.5;
     EtO2CCHBuCMe: 236°, 12.5. I (1 g.) in 10.5 ml. N KOH and 0.89 g.
     MeNHNH2.H2SO4 in 4 ml. EtOH refluxed 10 hrs. gave 0.5 g. 3-RNHNH analog
     (II) of I (R = Me). Similarly were prepared other II (R, m.p. (decomposition),
     and min. inhibitory concentration in \gamma/ml. for M. tuberculosis given): Me,
     220°, 12.5; Ph, 217°, 25; p-MeC6H4, 212°, 50;
     p-ClC6H4, 216°, 50. None of them showed stronger activity than I.
     Chemotherapeutics. IV. Antibacterial action of 2-mercapto-3-
TI
     hydrazinoquinoxaline derivatives on various bacteria
     cf. C.A. 53, 10242d. 2-Mercapto-3-hydrazinoquinoxaline (I) (0.5 g.) and
^{\mathrm{AB}}
     0.7 g. glucuronolactone in 15 ml. 50% AcOH were dissolved by heating, kept
     overnight, and the product filtered off to give 0.69 g. product; this in a
     small amount of H2O heated for 30 min. on a water bath and cooled gave
     glucuronic acid 2-mercapto-3-quinoxalinylhydrazone, m. 185°
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(decomposition); this in MeOH refluxed for 3 hrs. and cooled gave

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glucuronolactone 2-mercapto-3-quinoxalinylhydrazone, m. 213°
     (decomposition). Similarly were prepared other 3-NHN:R analogs of I (R, m.p.
and
     min. inhibitory concentration in \gamma/ml. for Mycobacterium
     tuberculosis H37Rv given): O.CH:CH.CH:CCH:, 244° (decomposition), 12.5;
     O.CH:CH.CH:CCMe:, 271° (decomposition), 12.5; glucosyl, 184°
     (decomposition), 6.25; arabinosyl, 137° (decomposition), 6.25; rhamnosyl,
     205° (decomposition), 25; HO2CCMe:, 245° (decomposition), 25;
     EtO2CCMe:, 239°, -; HO2C[Me(CH2)8]C:, 218° (decomposition), 50;
     HO2C[Me(CH2)16]C:, 209° (decomposition), 50; EtO2CCH2CMe:, 189°,
     6.25; EtO2CCHMeCMe:, 202°, 6.25; EtO2CCHPrCMe:, 229°, 12.5;
     EtO2CCHBuCMe: 236°, 12.5. I (1 g.) in 10.5 ml. N KOH and 0.89 g.
     MeNHNH2.H2SO4 in 4 ml. EtOH refluxed 10 hrs. gave 0.5 g. 3-RNHNH analog
     (II) of I (R = Me). Similarly were prepared other II (R, m.p. (decomposition),
     and min. inhibitory concentration in \gamma/ml. for M. tuberculosis given): Me,
     220°, 12.5; Ph, 217°, 25; p-MeC6H4, 212°, 50;
     p-ClC6H4, 216°, 50. None of them showed stronger activity than I.
     Mycobacterium tuberculosis
IT
        (3-hydrazino-2-quinoxalinethiol derivative effect on)
    ANSWER 14 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
T-22
     1959:56480 CAPLUS
AN
DN
     53:56480
OREF 53:10242d-q
     Chemotherapeutics. III. Antibacterial activity of some quinoxaline
     derivatives on various bacteria
     Asano, Kazuo; Asai, Satoo; Inoue, Naoyuki
ΑU
     Daiichi Pharm. Co., Takatsuki
CS
     Yakugaku Zasshi (1959), 79, 24-8
SO
     CODEN: YKKZAJ; ISSN: 0031-6903
DT
     Journal
LΑ
     Unavailable
     cf. C.A. 52, 18428b. 2,3-Dimercaptoquinoxaline (50 g.) and 16 g. 80%
AΒ
     N2H4.H2O heated 2 hrs. at 100°, cooled and the product recrystd.
     (EtOH) gave 2-mercapto-3-hydrazinoquinoxaline (I \alpha-form), plates, m.
     254° (decomposition); this in HCl was neutralized with NH4OH to give I
     (β-form), unmelted at 300°. I and an equivalent amount of an
     aldehyde or ketone in EtOH refluxed, cooled, the precipitate filtered off,
washed
     with dilute HCl and recrystd. (MeOH or EtOH) gave the following
     2-mercapto-3-quinoxalinylhydrazones (II) (ketone or aldehyde, m.p.
     (decomposition) of hydrazone, and the min. inhibitory concentration, \gamma/ml.,
for
     Mycobacterium tuberculosis given): Me2CO, 270°, 3.13;
     MeCOEt, 257°, 3.13; MeCOPr, 234°, 6.25; MeCOBu-iso,
     263°, 3.13; MeCOAm, 231°, 3.13; MeCOAm-iso, 233°,
     3.13; diacetone alc., 211°, 12.5; cyclohexanone, 248°, 3.13; Et2CO, 245°, 6.25; Pr2CO, 234°, 6.25; PhCOMe, 246°,
     25; p-H2NC6H4COMe, 274°, 12.5; PhCH:CHCOMe, 258°, 25;
     AmCOPh, 218°, -; AcH, 236°, -; EtCHO, 211°, 6.25;
     BzH, 256°, 6.25; ogr; -HOC6H4CHO, 273°, 50; m-HOC6H4CHO,
     263°, 3.13; p-HOC6H4CHO, 270°, 6.25; vanillin, 259°,
     6.25; p-ClC6H4CHO, 271°, 12.5; PhCH:CHCHO, 238°, 25.
     also effective on gram pos. and neg. bacteria as in M. tuberculosis.
     Chemotherapeutics. III. Antibacterial activity of some quinoxaline
ΤI
     derivatives on various bacteria
     cf. C.A. 52, 18428b. 2,3-Dimercaptoquinoxaline (50 g.) and 16 g. 80%
AB
     N2H4.H2O heated 2 hrs. at 100°, cooled and the product recrystd.
     (EtOH) gave 2-mercapto-3-hydrazinoquinoxaline (I \alpha-form), plates, m.
     254° (decomposition); this in HCl was neutralized with NH4OH to give I
     (\beta\text{-form}), unmelted at 300°. I and an equivalent amount of an
     aldehyde or ketone in EtOH refluxed, cooled, the precipitate filtered off,
washed
     with dilute HCl and recrystd. (MeOH or EtOH) gave the following
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2-mercapto-3-quinoxalinylhydrazones (II) (ketone or aldehyde, m.p.
     (decomposition) of hydrazone, and the min. inhibitory concentration, \gamma/ml.,
for
     Mycobacterium tuberculosis given): Me2CO, 270°, 3.13;
     MeCOEt, 257°, 3.13; MeCOPr, 234°, 6.25; MeCOBu-iso,
     263°, 3.13; MeCOAm, 231°, 3.13; MeCOAm-iso, 233°, 3.13; diacetone alc., 211°, 12.5; cyclohexanone, 248°, 3.13;
     Et2CO, 245°, 6.25; Pr2CO, 234°, 6.25; PhCOMe, 246°,
     25; p-H2NC6H4COMe, 274°, 12.5; PhCH:CHCOMe, 258°, 25;
     AmCOPh, 218°, -; AcH, 236°, -; EtCHO, 211°, 6.25;
     BzH, 256°, 6.25; ogr;-HOC6H4CHO, 273°, 50; m-HOC6H4CHO,
     263°, 3.13; p-HOC6H4CHO, 270°, 6.25; vanillin, 259°,
     6.25; p-ClC6H4CHO, 271°, 12.5; PhCH:CHCHO, 238°, 25.
     also effective on gram pos. and neg. bacteria as in M. tuberculosis.
L22 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN
     1958:104330 CAPLUS
DN
     52:104330
OREF 52:18428q-i,18429a
     Chemotherapeutics. II. Antituberculous activity of some
     quinoxaline derivatives
     Asano, Kazuo
AU
     Daiichi Seiyaku Co., Akutagawa, Takatsuki
CS
     Yakuqaku Zasshi (1958), 78, 729-33
SO
     CODEN: YKKZAJ; ISSN: 0031-6903
DT
     Journal
     Unavailable
LA
     2,3-R,R1-Disubstituted quinoxalines (I) (all new compds.) were prepared I
AB
     (R, R1, m.p., and min. growth inhibitory concentration for Mycobacterium
     tuberculosis in \gamma/mL. given): CH:NNHCSNH2, H, 246°
     (decomposition), 12.5; 2-HOC6H4N:CH, H, 231° (decomposition), 3.13; CONH2, H,
     191°, above 50; CONHNH2, H, 212°, 25; CONHNH2, NHNH2,
     200° (decomposition), above 50; Cl, Pr, 73°, 25; Cl, n-C11H23,
     57°, 25; Cl, n-Cl3H27, 62°, 25; Cl, n-Cl7H35, 73°,
     25; NHNH2, H, 167° (decomposition), above 50; NHNH2, NHNH2, above
     300°, 50; NHN: CMeCO2H, H, 225° (decomposition), 50; NHNH2, Me,
     172° (decomposition), 50; NHNH2, Am, 137° (decomposition), 50; NHNH2,
     n-C11H23, 101°, 50; NHNH2, n-C13H27, 102°, 50; NHNH2,
     n-C17H35 103°, above 50; HO, n-C7H15, 140°, above 50; HO,
     n-C8H17, 122°, above 50; HO, n-C9H19, 128°, above 50; HO,
     n-C11H23, 126°, above 50; HO, n-C13H27, 123°, above 50; HO,
     nC17H35, 124°, above 50; HO, CH:CHCO2H, 175° (decomposition),
     50; HO, CONHNH2, 334° (decomposition), 25; SH, SH, above 300°,
     3.13; SH, Me, 254° (decomposition), 25; SH, n-C5H11, 173°, 50;
     SH, n-C11H23, 140°, 50; SH, n-C13H27, 136°, 50; SH,
     n-C17H35, 131°, 50; SH, Pr, 198°, above 50. The min.
     inhibitory concentration of 24 known compds. of I are also tabulated.
                                                                               The min.
     inhibitory concentration (\gamma/mL) of the controls were as follows:
     p-H2NC6H4OH, 1.56; 4-C5H4NCONHNH2, 0.08; tibione, 12.5; streptomycin,
     1.56; 2,4-HO(H2N)C6H3CO2H, 0.63.
     Chemotherapeutics. II. Antituberculous activity of some
TI
     quinoxaline derivatives
     \tilde{2},3-R,R1-Disubstituted quinoxalines (I) (all new compds.) were prepared I
AB
     (R, R1, m.p., and min. growth inhibitory concentration for Mycobacterium
     tuberculosis in \gamma/mL. given): CH:NNHCSNH2, H, 246°
     (decomposition), 12.5; 2-HOC6H4N:CH, H, 231° (decomposition), 3.13; CONH2, H,
     191°, above 50; CONHNH2, H, 212°, 25; CONHNH2, NHNH2,
     200° (decomposition), above 50; Cl, Pr, 73°, 25; Cl, n-C11H23,
     57°, 25; Cl, n-Cl3H27, 62°, 25; Cl, n-Cl7H35, 73°,
     25; NHNH2, H, 167° (decomposition), above 50; NHNH2, NHNH2, above
     300°, 50; NHN: CMeCO2H, H, 225° (decomposition), 50; NHNH2, Me,
     172° (decomposition), 50; NHNH2, Am, 137° (decomposition), 50; NHNH2,
     n-C11H23, 101°, 50; NHNH2, n-C13H27, 102°, 50; NHNH2,
     n-C17H35 103°, above 50; HO, n-C7H15, 140°, above 50; HO,
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n-C8H17, 122°, above 50; HO, n-C9H19, 128°, above 50; HO, n-C11H23, 126°, above 50; HO, n-C13H27, 123°, above 50; HO, nC17H35, 124°, above 50; HO, CH:CHCO2H, 175° (decomposition), 50; HO, CONHNH2, 334° (decomposition), 25; SH, SH, above 300°, 3.13; SH, Me, 254° (decomposition), 25; SH, n-C5H11, 173°, 50; SH, n-C11H23, 140°, 50; SH, n-C13H27, 136°, 50; SH, n-C17H35, 131°, 50; SH, Pr, 198°, above 50. The min. inhibitory concentration of 24 known compds. of I are also tabulated. The min. inhibitory concentration ( $\gamma/mL$ .) of the controls were as follows: p-H2NC6H4OH, 1.56; 4-C5H4NCONHNH2, 0.08; tibione, 12.5; streptomycin, 1.56; 2,4-HO(H2N)C6H3CO2H, 0.63.